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INTERNATIONAL PRELIMINARY EXAMINATION REPORT

(PCT Article 36 and Rule 70)

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| Applicant's or agent's file reference Flut_101 | FOR FURTHER ACTION See Notification of Transmittal of International Preliminary Examination Report (Form PCT/IPEA/416) | |
| International application No. PCT/IN 2003/000219 | International filing date (day/month/year) 16 June 2003 (16.06.2003) | Priority Date (day/month/year) 20 June 2002 (20.06.2002) |
| International Patent Classification (IPC) or national classification and IPC IPC ⁷ : C07J 31/00, 75/00 | | |
| Applicant SUN PHARMACEUTICAL INDUSTRIES LIMITED | | |
| <p>1. This international preliminary examination report has been prepared by this International Preliminary Examination Authority and is transmitted to the applicant according to Article 36.</p> <p>2. This REPORT consists of a total of <u>4</u> sheets, including this cover sheet.</p> <p><input type="checkbox"/> This report is also accompanied by ANNEXES, i.e., sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications made before this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions under the PCT).</p> <p>These annexes consist of a total of _____ sheets.</p> <p>3. This report contains indications relating to the following items:</p> <p>I. <input checked="" type="checkbox"/> Basis of the opinion</p> <p>II. <input type="checkbox"/> Priority</p> <p>III. <input type="checkbox"/> Non-establishment of opinion with regard to novelty, inventive step and industrial applicability</p> <p>IV. <input checked="" type="checkbox"/> Lack of unity of invention</p> <p>V. <input checked="" type="checkbox"/> Reasoned statement under Rule 66.2(a)(ii) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement</p> <p>VI. <input type="checkbox"/> Certain documents cited</p> <p>VII. <input type="checkbox"/> Certain defects in the international application</p> <p>VIII. <input type="checkbox"/> Certain observations on the international application</p> | | |
| Date of submission of the demand 02.01.2004 | Date of completion of this report 11 January 2005 (11.01.2005) | |
| Name and mailing address of the IPEA/AT Austrian Patent Office Dresdner Straße 87 A-1200 Vienna Facsimile No. 1/53424/200 | Authorized officer MÜLLER-HIEL R. Telephone No. 1/53424/434 | |

INTERNATIONAL PRELIMINARY EXAMINATION REPORT

International Application No.

PCT/IN 2003/000219

I. Basis of the report

1. With regard to the elements of the international application:*

- ☒ the international application as originally filed
- ☐ the description:
pages _____, as originally filed
pages _____, filed with the demand
pages _____, filed with the letter of _____.
- ☐ the claims:
pages _____, as originally filed
pages _____, as amended (together with any statement) under Article 19
pages _____, filed with the demand
pages _____, filed with the letter of _____.
- ☐ the drawings:
pages _____, as originally filed
pages _____, filed with the demand
pages _____, filed with the letter of _____.
- ☐ the sequence listing part of the description:
pages _____, as originally filed
pages _____, filed with the demand
pages _____, filed with the letter of _____.

2. With regard to the language, all the elements marked above were available or furnished to this Authority in the language in which the international application was filed, unless otherwise indicated under this item.

These elements were available or furnished to this Authority in the following language _____ which is:

- ☐ the language of a translation furnished for the purposes of international search (under Rule 23.1(b)).
- ☐ the language of publication of the international application (under Rule 48.3(b)).
- ☐ the language of the translation furnished for the purposes of international preliminary examination (under Rule 55.2 and/or 55.3).

3. With regard to any nucleotide and/or amino acid sequence disclosed in the international application, the international preliminary examination was carried out on the basis of the sequence listing:

- ☐ contained in the international application in printed form.
- ☐ filed together with the international application in computer readable form.
- ☐ furnished subsequently to this Authority in written form.
- ☐ furnished subsequently to this Authority in computer readable form.
- ☐ The statement that the subsequently furnished written sequence listing does not go beyond the disclosure in the international application as filed has been furnished.
- ☐ The statement that the information recorded in computer readable form is identical to the written sequence listing has been furnished.

4. ☐ The amendments have resulted in the cancellation of:

- ☐ the description, pages _____.
- ☐ the claims, Nos. _____.
- ☐ the drawings, sheets/fig _____.

5. ☐ This report has been established as if (some of) the amendments had not been made, since they have been considered to go beyond the disclosure as filed, as indicated in the Supplemental Box (Rule 70.2(c)).**

* Replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as „originally filed“ and are not annexed to this report since they do not contain amendments (Rules 70.16 and 70.17).

** Any replacement sheet containing such amendments must be referred to under item 1 and annexed to this report.

INTERNATIONAL PRELIMINARY EXAMINATION REPORTInternational Application No.
PCT/IN 2003/000219**IV. Lack of unity of invention**

1. In response to the invitation to restrict or pay additional fees the applicant has:

- ☐ restricted the claims.
☐ paid additional fees.
☐ paid additional fees under protest.
☐ neither restricted nor paid additional fees.

2. ☒ This Authority found that the requirements of unity of invention is not complied with and chose, according to Rule 68.1, not to invite the applicant to restrict or pay additional fees.

3. This Authority considers that the requirements of unity of invention in accordance with Rules 13.1, 13.2 and 13.3 is

- ☐ complied with.
☒ not complied with for the following reasons:

As indicated in the search report, the claims include two groups of processes for the preparation of fluticasone propionate (Group I, claims 1-22, Group II, claims 23-31). Both groups of processes comprise the conversion of N,N-dimethylcarbamoylthiocarbonyl derivative of formula 3 to obtain fluticasone propionate. Features contributing to the state of the art are seen in the use of reagents and reaction conditions, but not in the compounds involved in the reaction sequence. Therefore, the two groups of processes are not considered to fulfil the requirements of unity according to PCT Rule 13.1 and 13.2.

4. Consequently, the following parts of the international application were the subject of international preliminary examination in establishing this opinion:

- ☒ all parts.
☐ the parts relating to claims Nos. _____.

INTERNATIONAL PRELIMINARY EXAMINATION REPORT

International Application No.
PCT/IN 2000/000219

V. Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

| | | | |
|-------------------------------|--------|------|-----|
| 1. Statement | | | |
| Novelty (N) | Claims | 1-31 | YES |
| | Claims | ---- | NO |
| Inventive step (IS) | Claims | 1-31 | YES |
| | Claims | ---- | NO |
| Industrial applicability (IA) | Claims | 1-31 | YES |
| | Claims | ---- | NO |

Citations and explanations (Rule 70.7)

The following documents have been cited in the Search Report:

D1: WO2001/062722A2

D2: GB2088877A

D3: IL109656A1

The conversion of N,N-dimethylcarbamoylthiocarbonyl derivative of formula 3 to obtain the corresponding carbothioic acid derivative 4 is known from D1, but the use of an alkali metal carbonate/alcohol system to afford this reaction is not mentioned in D1. Also, the reaction of compound 4 with bromofluoromethane to obtain fluticasone propionate is not described in D1.

Documents D2 and D3 describe reaction steps which are part of the claimed reaction sequences: Document D2 describes the preparation of compound 3 from androstadien carboxylic acid of formula 2, which is part of the reaction sequence according to claims 16 and 23. The use of bromofluoromethane to obtain fluticasone propionate is known from D3.

None of the cited documents describe or suggest the complete reaction sequences according to claims 1 or 23. Therefore, the present application meets the requirements of novelty and inventive step.

Industrial applicability is given.